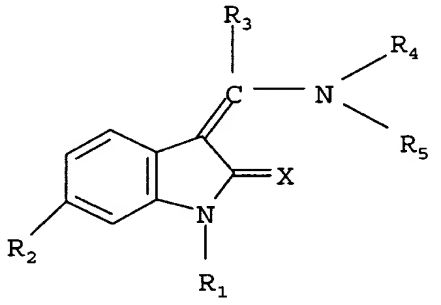
AMENDMENTS TO THE CLAIMS

Claim 1 (Currently amended): A compound of the formula I



(I),

wherein:

X denotes an oxygen ~~or sulphur~~ atom,

R_1 denotes a hydrogen atom or a C_{1-4}-alkoxycarbonyl or C_{2-4}-alkanoyl ~~a prodrug~~ group,

R_2 denotes a carboxy group, a straight-chain or branched C_{1-6}-alkoxy-carbonyl group, a C_{4-7}-cycloalkoxy-carbonyl or an aryloxycarbonyl group,

a straight-chain or branched C_{1-6}-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, heteroaryl, carboxy, C_{1-3}-alkoxy-carbonyl, aminocarbonyl, C_{1-3}-alkylamino-carbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group,

a straight-chain or branched C_{2-6}-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a chlorine atom or a hydroxy, C_{1-3}-alkoxy, amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group,

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally substituted in the 2 position of the ethyl group by a hydroxy or C_{1-3}-alkoxy group ~~or, if R_4 does not denote an aminosulphonyl-phenyl or N-(C_{1-3}-alkyl)-C_{1-3}-alkylaminocarbonyl-phenyl group, it may also denote a di-(C_{1-2}-alkyl)-aminocarbonyl group,~~

R_3 denotes a hydrogen atom, a C_{1-6}-alkyl, C_{3-7}-cycloalkyl, trifluoromethyl or heteroaryl group,

a phenyl or naphthyl group, a phenyl or naphthyl group mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom, by a trifluoromethyl, C_{1-3}-alkyl or C_{1-3}-alkoxy group, whilst in the event of disubstitution the substituents may be identical or different and wherein the abovementioned unsubstituted as well as the mono- and disubstituted phenyl and naphthyl groups may additionally be substituted

by a hydroxy, hydroxy-C_{1-3}-alkyl or C_{1-3}-alkoxy-C_{1-3}-alkyl group,

by a cyano, carboxy, carboxy-C_{1-3}-alkyl, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylamino-carbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group,

by a nitro group,

by an amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino or amino-C_{1-3}-alkyl group,

by a C_{1-3}-alkylcarbonylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkyl-carbonylamino, C_{1-3}-alkylcarbonylamino-C_{1-3}-alkyl, N-(C_{1-3}-alkyl)-C_{1-3}-alkylcarbonylamino-C_{1-3}-alkyl, C_{1-3}-alkyl-sulphonylamino, C_{1-3}-alkylsulphonylamino-C_{1-3}-alkyl, N-(C_{1-3}-alkyl)-C_{1-3}-alkylsulphonylamino-C_{1-3}-alkyl or aryl-C_{1-3}-alkylsulphonylamino group,

by a cycloalkylamino, cycloalkyleneimino, cycloalkyleneiminocarbonyl, cycloalkyleneimino-C_{1-3}-alkyl, cycloalkyleneiminocarbonyl-C_{1-3}-alkyl or cycloalkyleneiminosulphonyl-C_{1-3}-alkyl group having 4 to 7 ring members in each case, whilst in each case the methylene group in position 4 of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH or -N(C_{1-3}-alkyl) group,

or by a heteroaryl or heteroaryl-C_{1-3}-alkyl group,

R_4 denotes a C_{3-7}-cycloalkyl group,

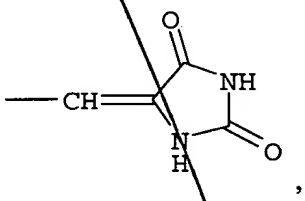
whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group or replaced by an -NH or -N(C_{1-3}-alkyl) group,

or a phenyl group substituted by the group R_6, which may additionally be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by C_{1-5}-alkyl, trifluoromethyl, hydroxy, C_{1-3}-alkoxy, carboxy, C_{1-3}-alkoxycarbonyl, amino, acetylamino, C_{1-3}-alkyl-sulphonylamino, aminocarbonyl, C_{1-3}-alkyl-aminocarbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, aminosulphonyl, C_{1-3}-alkyl-aminosulphonyl, di-(C_{1-3}-alkyl)-aminosulphonyl, nitro or cyano groups, wherein the substituents may be identical or different and wherein

R_6 denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

a cyano, nitro, amino, C_{1-5}-alkyl, C_{3-7}-cycloalkyl, trifluoromethyl, phenyl, tetrazolyl or heteroaryl group,

the group of formula



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wherein the hydrogen atoms bound to a nitrogen atom may in each case be replaced independently of one another by a C_{1-3}-alkyl group,

a C_{1-3}-alkoxy group, a C_{1-3}-alkoxy-C_{1-3}-alkoxy, phenyl-C_{1-3}-alkoxy, amino-C_{2-3}-alkoxy, C_{1-3}-alkylamino-C_{2-3}-alkoxy, di-(C_{1-3}-alkyl)-amino-C_{2-3}-alkoxy, phenyl-C_{1-3}-alkylamino-C_{2-3}-alkoxy, N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino-C_{2-3}-alkoxy, C_{5-7}-cycloalkyleneimino-C_{2-3}-alkoxy or C_{1-3}-alkylmercapto group,

a carboxy, C_{1-4}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylamino-carbonyl, N-(C_{1-5}-alkyl)-C_{1-3}-alkylaminocarbonyl, phenyl-C_{1-3}-alkylamino-carbonyl,

N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino-carbonyl, piperazinocarbonyl or N-(C_{1-3}-alkyl)-piperazinocarbonyl group,

a C_{1-3}-alkylaminocarbonyl or N-(C_{1-5}-alkyl)-C_{1-3}-alkylaminocarbonyl group wherein an alkyl moiety is substituted by a carboxy or C_{1-3}-alkoxycarbonyl group or in the 2 or 3 position by a di-(C_{1-3}-alkyl)-amino, piperazino, N-(C_{1-3}-alkyl)-piperazino or a 4- to 7-membered cycloalkyleneimino group,

a C_{3-7}-cycloalkyl-carbonyl group,

wherein the methylene group in the 4 position of the 6- or 7-membered cycloalkyl moiety may be substituted by an amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group or replaced by an -NH or -N(C_{1-3}-alkyl) group,

a 4- to 7-membered cycloalkyleneimino group wherein

a methylene group linked to the imino group may be replaced by a carbonyl or sulphonyl group or

the cycloalkylene moiety may be fused to a phenyl ring or

one or two hydrogen atoms may each be replaced by a C_{1-3}-alkyl group and/or

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, phenyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(C_{1-3}-alkyl-carbonyl) or -N(benzoyl) group,

a C_{1-4}-alkyl group substituted by the group R_7, wherein

R_7 denotes a C_{3-7}-cycloalkyl group,

whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group or replaced by an -NH or -N(C_{1-3}-alkyl) group or

in a 5- to 7-membered cycloalkyl group a -$(CH_2)_2$ group may be replaced by a -CO-NH group, a -$(CH_2)_3$ group may be replaced by a -NH-CO-NH or -CO-NH-CO group or a -$(CH_2)_4$ group may be replaced by a -NH-CO-NH-CO group, whilst in each case a hydrogen atom bound to a nitrogen atom may be replaced by a C1-3-alkyl group,

an aryl or heteroaryl group,

a hydroxy or C_{1-3}-alkoxy group,

an amino, C_{1-7}-alkylamino, di-(C_{1-7}-alkyl)-amino, phenylamino, N-phenyl-C_{1-3}-alkyl-amino, phenyl-C_{1-3}-alkylamino, N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino or di-(phenyl-C_{1-3}-alkyl)-amino group,

an ω-hydroxy-C_{2-3}-alkyl-amino, N-(C_{1-3}-alkyl)-ω-hydroxy-C_{2-3}-alkyl-amino, di-(ω-hydroxy-C_{2-3}-alkyl)-amino, di-(ω-(C_{1-3}-alkoxy)-C_{2-3}-alkyl)-amino or N-(dioxolan-2-yl)-C_{1-3}-alkyl-amino group,

a C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-amino or C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-N-(C_{1-3}-alkyl)-amino group,

a C_{1-3}-alkylsulphonylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkylsulphonylamino, C_{1-3}-alkylsulphonylamino-C_{2-3}-alkyl-amino or C_{1-3}-alkylsulphonylamino-C_{2-3}-alkyl-N-(C_{1-3}-alkyl)-amino group,

a hydroxycarbonyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-hydroxycarbonyl-C_{1-3}-alkyl-amino group,

a guanidino group wherein one or two hydrogen atoms may each be replaced by a C_{1-3}-alkyl group,

a group of formula

$$-N(R_8)-CO-(CH_2)_n-R_9 \quad (II),$$

wherein

R_8 denotes a hydrogen atom or a C_{1-3}-alkyl group,

n denotes one of the numbers 0, 1, 2 or 3 and

R_9 denotes an amino, C_{1-4}-alkylamino, di-(C_{1-4}-alkyl)-amino, phenylamino, N-(C_{1-4}-alkyl)-phenylamino, benzylamino, N-(C_{1-4}-alkyl)-benzylamino or C_{1-4}-alkoxy group, a 4- to 7-membered cycloalkyleneimino group, whilst in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(C_{1-3}-alkyl-carbonyl) or -N(benzoyl) group, or, if n denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula

$$-N(R_{10})-(CH_2)_m-(CO)_o-R_{11} \quad (III),$$

wherein

R_{10} denotes a hydrogen atom, a C_{1-3}-alkyl group, a C_{1-3}-alkylcarbonyl, arylcarbonyl, phenyl-C_{1-3}-alkyl-carbonyl, C_{1-3}-alkylsulphonyl, arylsulphonyl or phenyl-C_{1-3}-alkylsulphonyl group,

m denotes one of the numbers 1, 2, 3 or 4,

o denotes the number 1 or, if m denotes one of the numbers 2, 3 or 4, o may also denote the number 0 and

R_{11} denotes an amino, C_{1-4}-alkylamino, di-(C_{1-4}-alkyl)-amino, phenylamino, N-(C_{1-4}-alkyl)-phenylamino, benzylamino, N-(C_{1-4}-alkyl)-benzylamino, C_{1-4}-alkoxy or C_{1-3}-alkoxy-C_{1-3}-alkoxy group, a di-(C_{1-4}-alkyl)-amino-C_{1-3}-alkylamino group optionally substituted in the 1 position by a C_{1-3}-alkyl group or a 4- to 7-membered cycloalkyleneimino group, wherein the cycloalkylene moiety may be fused to a phenyl ring or in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(C_{1-3}-alkyl-carbonyl) or -N(benzoyl) group,

a C_{4-7}-cycloalkylamino, C_{4-7}-cycloalkyl-C_{1-3}-alkylamino or C_{4-7}-cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond and wherein the abovementioned groups may each additionally be substituted at the amino-nitrogen atom by a C_{5-7}-cycloalkyl, C_{2-4}-alkenyl or C_{1-4}-alkyl group,

a 4- to 7-membered cycloalkyleneimino group, wherein

the cycloalkylene moiety may be fused to a phenyl group or to an oxazolo, imidazolo, thiazolo, pyridino, pyrazino or pyrimidino group optionally substituted by a fluorine, chlorine, bromine or iodine atom, by a nitro, C_{1-3}-alkyl, C_{1-3}-alkoxy or amino group, and/or

one or two hydrogen atoms may each be replaced by a C_{1-3}-alkyl, C_{5-7}-cycloalkyl or phenyl group and/or

the methylene group in the 3 position of a 5-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C_{1-3}-alkyl, C_{1-3}-alkoxy or C_{1-3}-alkoxy-C_{1-3}-alkyl group,

the methylene group in the 3 or 4 position of a 6- or 7-membered cycloalkyleneimino group may in each case be substituted by a hydroxy, hydroxy-C_{1-3}-alkyl, C_{1-3}-alkoxy, C_{1-3}-alkoxy-C_{1-3}-alkyl, carboxy, C_{1-4}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, phenyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkyl-amino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl-), -N(phenyl), -N(phenyl-C_{1-3}-alkyl-), -N(C_{1-3}-alkyl-carbonyl-), -N(C_{1-4}-hydroxy-carbonyl-), -N(C_{1-4}-alkoxy-carbonyl-), -N(benzoyl-) or -N(phenyl-C_{1-3}-alkyl-carbonyl-) group,

wherein a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group or in a 5- to 7-membered monocyclic cycloalkyleneimino group or a cycloalkyleneimino group fused to a phenyl group the two methylene groups linked to the imino-nitrogen atom may each be replaced by a carbonyl group,

or R_6 denotes a C_{1-4}-alkyl group which is substituted by a carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group or by a 4- to 7-membered cycloalkyleneiminocarbonyl group,

an N-(C_{1-3}-alkyl)-C_{2-4}-alkanoylamino group which is additionally substituted in the alkyl moiety by a carboxy or C_{1-3}-alkoxycarbonyl group,

a group of formula

$$-N(R_{12})-CO-(CH_2)_p-R_{13} \quad (IV),$$

wherein

R_{12} denotes a hydrogen atom, a C_{1-6}-alkyl or C_{3-7}-cycloalkyl group or a C_{1-3}-alkyl group terminally substituted by a phenyl, heteroaryl, trifluoromethyl, hydroxy, C_{1-3}-alkoxy, aminocarbonyl, C_{1-4}-alkylamino-carbonyl, di-(C_{1-4}-alkyl)-amino-carbonyl, C_{1-3}-alkyl-carbonyl, C_{1-3}-alkyl-sulphonylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkyl-sulphonylamino, C_{1-3}-alkyl-aminosulphonyl or di-(C_{1-3}-alkyl)-aminosulphonyl group and

p denotes one of the numbers 0, 1, 2 or 3 and

R_{13} assumes the meanings of the abovementioned group R_7, or, if p denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula

$$-N(R_{14})-(CH_2)_q-(CO)_r-R_{15} \quad (V),$$

wherein

R_{14} denotes a hydrogen atom, a C_{1-4}-alkyl group, a C_{1-3}-alkylcarbonyl, arylcarbonyl, phenyl-C_{1-3}-alkylcarbonyl, heteroarylcarbonyl, heteroaryl-C_{1-3}-alkylcarbonyl, C_{1-4}-alkylsulphonyl, arylsulphonyl, phenyl-C_{1-3}-alkylsulphonyl, heteroarylsulphonyl or heteroaryl-C_{1-3}-alkyl-sulphonyl group,

q denotes one of the numbers 1, 2, 3 or 4,

r denotes the number 1 or, if q is one of the numbers 2, 3 or 4, it may also denote the number 0 and

R_{15} assumes the meanings of the abovementioned group R_7,

a group of formula

$$-N(R_{16})-SO_2-R_{17} \quad (VI),$$

wherein

R_{16} denotes a hydrogen atom or a C_{1-4}-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C_{1-3}-alkyl)-trifluoromethyl-carbonyl-amino group and

R_{17} denotes a C_{1-3}-alkyl group,

an amino group substituted by a di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl-carbonyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl-sulphonyl group and a di-(C_{1-3}-alkyl)-aminocarbonyl-C_{1-3}-alkyl group,

or an N-(C_{1-3}-alkyl)-C_{1-5}-alkylsulphonylamino or N-(C_{1-3}-alkyl)-phenylsulphonylamino group wherein the alkyl moiety is additionally substituted by a cyano or carboxy group,

wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R_6 may be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by C_{1-5}-alkyl, trifluoromethyl, hydroxy, C_{1-3}-alkoxy, carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-4}-alkylamino-carbonyl, di-(C_{1-4}-alkyl)-amino-carbonyl, aminosulphonyl, C_{1-3}-alkyl-aminosulphonyl, di-(C_{1-3}-alkyl)-aminosulphonyl, C_{1-3}-alkyl-sulphonylamino, nitro or cyano groups, wherein the substituents may be identical or different, or two adjacent hydrogen atoms of the phenyl groups may be replaced by a methylenedioxy group,

and

R_5 denotes a hydrogen atom or a C_{1-3}-alkyl group,

wherein by an aryl group is meant a phenyl or naphthyl group optionally mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom, by a cyano, trifluoromethyl, nitro, carboxy, aminocarbonyl, C_{1-3}-alkyl or C_{1-3}-alkoxy group and

by a heteroaryl group is meant a monocyclic 5- or 6-membered heteroaryl group optionally substituted by a C_{1-3}-alkyl group in the carbon skeleton, wherein

the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

the 5-membered heteroaryl group contains an imino group optionally substituted by a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group, an oxygen or sulphur atom or

an imino group optionally substituted by a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group or an oxygen or sulphur atom and additionally a nitrogen atom or

an imino group optionally substituted by a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group and two nitrogen atoms,

and moreover a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms and the bonding takes place via a nitrogen atom or via a carbon atom of the heterocyclic moiety or a fused phenyl ring,

some or all of the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be replaced by fluorine atoms,

and wherein any carboxy group contained in the abovementioned groups may be replaced by a tert.butoxycarbonyl precursor group,
and ~~the hydrogen atom of any carboxy group present or~~ wherein a hydrogen atom bound to a nitrogen atom may each be replaced by ~~a group which can be cleaved *in vivo*,~~ hydroxyl, benzoyl, pyridinoyl, formyl, acetyl, propionyl, butanoyl, pentanoyl, hexanoyl, allyloxycarbonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, tert.butoxycarbonyl, pentoxycarbonyl, hexyloxycarbonyl, octyloxycarbonyl,

nonyloxycarbonyl, decyloxycarbonyl, undecyloxycarbonyl, dodecyloxycarbonyl, hexadecyloxycarbonyl, benzyloxycarbonyl, phenylethoxycarbonyl, phenylpropoxycarbonyl, C_{1-3}-alkylsulphonyl-C_{2-4}-alkoxycarbonyl, C_{1-3}-alkoxy-C_{2-4}-alkoxy-C_{2-4}-alkoxycarbonyl or an R_eCO-O-(R_fCR_g)-O-CO group wherein

R_e denotes a C_{1-8}-alkyl, C_{5-7}-cycloalkyl, phenyl or phenyl- C_{1-3}-alkyl group,

R_f denotes a hydrogen atom, a C_{1-3}-alkyl, C_{5-7}-cycloalkyl or phenyl group and

R_g denotes a hydrogen atom, a C_{1-3}-alkyl or R_eCO-O-(R_fCR_g)-O group wherein R_e to R_g are as hereinbefore defined,

or wherein an amino nitrogen may form part of a phthalimido group,

or a tautomer or salt thereof.

Claim 2 (Currently amended): A compound of the formula I according to claim 1, wherein:

R_1 and R_3 are as defined in claim 1,

X denotes an oxygen atom,

R_2 denotes a carboxy group, a straight-chain or branched C_{1-6}-alkoxy-carbonyl group, a C_{5-7}-cycloalkoxycarbonyl or a phenoxycarbonyl group,

a straight-chain or branched C_{1-3}-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, heteroaryl, carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group,

a straight-chain or branched C_{2-3}-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a chlorine atom, by a hydroxy, C_{1-3}-alkoxy, amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group,

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally substituted in the 2 position of the ethyl group by a hydroxy or C_{1-3}-alkoxy group ~~or, if R_4 does not denote an aminosulphonyl-phenyl or N-(C_{1-5}-alkyl)-C_{1-3}-alkylaminocarbonyl-phenyl group, it may also denote a di-(C_{1-2}-alkyl)-aminocarbonyl group~~,

R_4 denotes a C_{3-7}-cycloalkyl group,

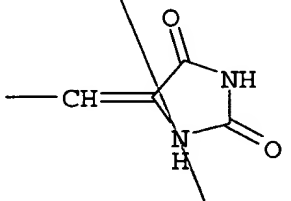
whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group or replaced by an -NH or -N(C_{1-3}-alkyl) group,

or a phenyl group substituted by the group R_6, which may additionally be mono- or disubstituted by fluorine, chlorine or bromine atoms, by C_{1-3}-alkyl, trifluoromethyl, hydroxy, C_{1-3}-alkoxy, carboxy, C_{1-3}-alkoxycarbonyl, amino, acetylamino, aminocarbonyl, C_{1-3}-alkyl-aminocarbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, nitro or cyano groups, wherein the substituents may be identical or different and wherein

R_6 denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

a cyano, nitro, amino, C_{1-5}-alkyl, C_{3-7}-cycloalkyl, trifluoromethyl, phenyl, tetrazolyl or heteroaryl group,

the group of formula



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wherein a hydrogen atom bound to the nitrogen atom may be replaced by a C_{1-3}-alkyl group,

a C_{1-3}-alkoxy group, an amino-C_{2-3}-alkoxy, C_{1-3}-alkylamino-C_{2-3}-alkoxy, di-(C_{1-3}-alkyl)-amino-C_{2-3}-alkoxy, phenyl-C_{1-3}-alkylamino-C_{2-3}-alkoxy, N-(C_{1-3}-alkyl)-

phenyl-C_{1-3}-alkylamino-C_{2-3}-alkoxy, pyrrolidino-C_{2-3}-alkoxy, piperidino-C_{2-3}-alkoxy or C_{1-3}-alkylmercapto group,

a carboxy, C_{1-4}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylamino-carbonyl, phenyl-C_{1-3}-alkylamino-carbonyl or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino-carbonyl group,

a C_{3-7}-cycloalkyl-carbonyl group,

wherein the methylene group in the 4 position of the 6- or 7-membered cycloalkyl moiety may be replaced by an -NH or -N(C_{1-3}-alkyl) group,

a 4- to 7-membered cycloalkyleneimino group, wherein

a methylene group linked to the imino group may be replaced by a carbonyl or sulphonyl group or

one or two hydrogen atoms may each be replaced by a C_{1-3}-alkyl group and/or

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, phenyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH or -N(C_{1-3}-alkyl) group,

a C_{1-4}-alkyl group terminally substituted by the group R_7, wherein

R_7 denotes a C_{5-7}-cycloalkyl group,

whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be replaced by an -NH or -N(C_{1-3}-alkyl) group or

in a 5- to 7-membered cycloalkyl group a $-(CH_2)_2$ group may be replaced by a -CO-NH group, a $-(CH_2)_3$ group may be replaced by a -NH-CO-NH- or a $-(CH_2)_4$ group may be replaced by a -NH-CO-NH-CO group, whilst in each case a hydrogen atom bound to a nitrogen atom may be replaced by a C_{1-3}-alkyl group,

a phenyl or heteroaryl group,

a hydroxy or C_{1-3}-alkoxy group,

an amino, C_{1-6}-alkylamino, di-(C_{1-6}-alkyl)-amino, phenylamino, N-phenyl-C_{1-3}-alkyl-amino, phenyl-C_{1-3}-alkylamino, N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino or di-(phenyl-C_{1-3}-alkyl)-amino group,

a ω-hydroxy-C_{2-3}-alkyl-amino, N-(C_{1-3}-alkyl)-ω-hydroxy--C_{2-3}-alkyl-amino, di-(ω-hydroxy-C_{2-3}-alkyl)-amino, di-(ω-(C_{1-3}-alkoxy)-C_{2-3}-alkyl)-amino or N-(dioxolan-2-yl)-C_{1-3}-alkyl-amino group,

a C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-amino or C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-N-(C_{1-3}-alkyl)-amino group,

a C_{1-3}-alkylsulphonylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkylsulphonylamino, C_{1-3}-alkylsulphonylamino--C_{2-3}-alkyl-amino or C_{1-3}-alkylsulphonylamino-C_{2-3}-alkyl--N-(C_{1-3}-alkyl)-amino group,

a hydroxycarbonyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-hydroxycarbonyl-C_{1-3}-alkyl-amino group

a guanidino group wherein a hydrogen atom may be replaced by a C_{1-3}-alkyl group,

a group of formula

$$-N(R_8)-CO-(CH_2)_n-R_9 \quad (II),$$

wherein

R_8 denotes a hydrogen atom or a C_{1-3}-alkyl group,

n denotes one of the numbers 0, 1, 2 or 3 and

R_9 denotes an amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, phenylamino, benzylamino or C_{1-4}-alkoxy group, a 5- to 7-membered cycloalkyleneimino group, wherein the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(C_{1-3}-alkyl-carbonyl) or -N(benzoyl) group, or, if n denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula

$$-N(R_{10})-(CH_2)_m-(CO)_o-R_{11} \quad (III),$$

wherein

R_{10} denotes a hydrogen atom, a C_{1-3}-alkyl group, a C_{1-3}-alkylcarbonyl or C_{1-3}-alkylsulphonyl group,

m denotes one of the numbers 1, 2 or 3,

o denotes the number 1 or, if m is one of the numbers 2 or 3, o may also denote the number 0 and

R_{11} denotes an amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, C_{1-4}-alkoxy or C_{1-3}-alkoxy-C_{1-3}-alkoxy group or a 5- to 7-membered cycloalkyleneimino group,

wherein the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(C_{1-3}-alkyl-carbonyl) or -N(benzoyl) group,

a C_{4-7}-cycloalkylamino or C_{4-7}-cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond,

a 4- to 7-membered cycloalkyleneimino group, wherein

the cycloalkylene moiety may be fused to a phenyl group or

one or two hydrogen atoms may each be replaced by a C_{1-3}-alkyl group and/or

the methylene group in position 3 of the pyrrolidino group may be substituted by a hydroxy or C_{1-3}-alkoxy group,

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C_{1-3}-alkyl, C_{1-3}-alkoxy, carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylamino-carbonyl, di-(C_{1-3}-alkyl)-aminocarbonyl, phenyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl), -N(phenyl), -N(phenyl-C_{1-3}-alkyl), -N(C_{1-3}-alkyl-carbonyl), -N(C_{1-4}-alkoxy-carbonyl), -N(benzoyl) or -N(phenyl-C_{1-3}-alkyl-carbonyl) group,

wherein a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group or in a 5- to 6-membered monocyclic cycloalkyleneimino group or a cycloalkyleneimino group fused to a phenyl group the two methylene groups linked to the imino-nitrogen atom may each be replaced by a carbonyl group,

or R_6 denotes a C_{1-4}-alkyl group which is terminally substituted by a carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group or by a 4- to 7-membered cycloalkyleneiminocarbonyl group,

a group of formula

$$-N(R_{12})-CO-(CH_2)_p-R_{13} \quad (IV),$$

wherein

R_{12} denotes a hydrogen atom, a C_{1-3}-alkyl, C_{5-7}-cycloalkyl, phenyl-C_{1-3}-alkyl or heteroaryl-C_{1-3}-alkyl group and

p denotes one of the numbers 0, 1, 2 or 3 and

R_{13} assumes the meanings of the abovementioned group R_7, or, if p denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula

$$-N(R_{14})-(CH_2)_q-(CO)_r-R_{15} \quad (V),$$

wherein

R_{14} denotes a hydrogen atom, a C_{1-4}-alkyl group, a C_{1-3}-alkylcarbonyl, phenylcarbonyl, phenyl-C_{1-3}-alkylcarbonyl, heteroarylcarbonyl, heteroaryl-C_{1-3}-alkylcarbonyl, C_{1-4}-alkylsulphonyl, phenylsulphonyl, phenyl-C_{1-3}-alkylsulphonyl- heteroarylsulphonyl or heteroaryl-C_{1-3}-alkyl-sulphonyl group,

q denotes one of the numbers 1, 2, 3 or 4,

r denotes the number 1 or, if q is one of the numbers 2, 3 or 4, it may also denote the number 0 and

R_{15} assumes the meanings of the abovementioned group R_7,

a group of formula

$$-N(R_{16})-SO_2-R_{17} \quad (VI),$$

wherein

R_{16} denotes a hydrogen atom or a C_{1-4}-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C_{1-3}-alkyl)-trifluoromethyl--carbonyl-amino group and

R_{17} denotes a C_{1-3}-alkyl group,

an amino group substituted by a di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl-carbonyl or di-(C_{1-3}-alkyl)-amino-C_{1-3}-alkyl-sulphonyl group and a di-(C_{1-3}-alkyl)-aminocarbonyl-C_{1-3}-alkyl group,

wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R_6 may be mono- or disubstituted by fluorine, chlorine or bromine atoms, by C_{1-3}-alkyl, trifluoromethyl, hydroxy, C_{1-3}-alkoxy, carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkyl-aminocarbonyl, aminosulphonyl, C_{1-3}-alkyl-aminosulphonyl, nitro or cyano groups, wherein the substituents may be identical or different, or two adjacent hydrogen atoms of the phenyl groups may be replaced by a methylenedioxy group, and

R_5 denotes a hydrogen atom or a C_{1-3}-alkyl group,

whilst by a heteroaryl group as mentioned above is meant a pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl or triazolyl group optionally substituted in the carbon skeleton by a C_{1-3}-alkyl group wherein a hydrogen atom bound to a nitrogen atom may be replaced by a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group

and wherein the 5-membered heteroaryl groups containing at least one imino group are bound via a carbon or nitrogen atom,

a hydrogen atom bound to a nitrogen atom in the abovementioned groups may be replaced by hydroxyl, benzoyl, pyridinoyl, formyl, acetyl, propionyl, butanoyl, pentanoyl, hexanoyl, allyloxycarbonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, tert.butoxycarbonyl, pentoxycarbonyl, hexyloxycarbonyl, octyloxycarbonyl, nonyloxycarbonyl, decyloxycarbonyl, undecyloxycarbonyl, dodecyloxycarbonyl, hexadecyloxycarbonyl, benzyloxycarbonyl, phenylethoxycarbonyl, phenylpropoxycarbonyl, C_{1-3}-alkylsulphonyl-C_{2-4}-alkoxycarbonyl, C_{1-3}-alkoxy-C_{2-4}-alkoxy-C_{2-4}-alkoxycarbonyl or an R_eCO-O-(R_fCR_g)-O-CO group wherein

R_e denotes a C_{1-8}-alkyl, C_{5-7}-cycloalkyl, phenyl or phenyl- C_{1-3}-alkyl group,

R_f denotes a hydrogen atom, a C_{1-3}-alkyl, C_{5-7}-cycloalkyl or phenyl group and

R_g denotes a hydrogen atom, a C_{1-3}-alkyl or R_eCO-O-(R_fCR_g)-O group wherein R_e to R_g are as hereinbefore defined,

or wherein an amino nitrogen may form part of a phthalimido group,

~~a group which can be cleaved *in vivo*,~~

~~the carboxy groups contained in the abovementioned groups may each be substituted by a group which can be cleaved *in vivo*,~~ and wherein any carboxy group contained in the abovementioned groups may be replaced by a tert.butoxycarbonyl precursor group,

and wherein some or all of the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be replaced by fluorine atoms, ~~and~~

or a tautomer or salt thereof.

Claim 3 (Original): A compound of the formula I according to claim 1, wherein:

X denotes an oxygen atom,

R_1 denotes a hydrogen atom,

R_2 denotes a carboxy group, a straight-chain or branched C_{1-4}-alkoxycarbonyl group or a phenoxycarbonyl group,

a straight-chain or branched C_{1-3}-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, carboxy, C_{1-3}-alkoxycarbonyl, aminocarbonyl, C_{1-3}-alkylaminocarbonyl or di-(C_{1-3}-alkyl)-aminocarbonyl group,

a straight-chain or branched C_{2-3}-alkoxy-carbonyl group which is terminally substituted in the alkyl moiety by a hydroxy, C_{1-3}-alkoxy, amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group,

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally substituted in the 2 position of the ethyl group by a hydroxy or C_{1-3}-alkoxy group or, if R_4 does not denote an aminosulphonyl-phenyl or N-(C_{1-5}-alkyl)-C_{1-3}-alkylaminocarbonyl-phenyl group, it may also denote a di-(C_{1-2}-alkyl)-aminocarbonyl group,

R_3 denotes a C_{1-4}-alkyl group or a phenyl group which may be substituted by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C_{1-3}-alkyl, hydroxy or C_{1-3}-alkoxy group,

R_4 denotes a C_{5-6}-cycloalkyl group,

wherein the methylene group in position 4 of the cyclohexyl group may be substituted by an amino, C_{1-3}-alkylamino or di-(C_{1-3}-alkyl)-amino group or replaced by an -NH or -N(C_{1-3}-alkyl) group,

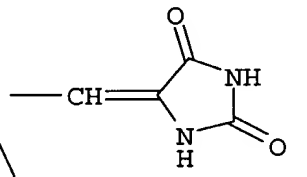
a phenyl group, a phenyl group disubstituted by C_{1-3}-alkyl, C_{1-3}-alkoxy or nitro groups, wherein the substituents may be identical or different, or

a phenyl group substituted by the group R_6, which may additionally be substituted by a fluorine, chlorine or bromine atom or by an amino or nitro group, wherein
R_6 denotes a fluorine, chlorine or bromine atom,

a C_{1-3}-alkyl, C_{1-3}-alkoxy, nitro, amino or C_{5-6}-cycloalkyl group,

a pyrrolyl, pyrazolyl, imidazolyl, triazolyl or tetrazolyl group bound via a carbon atom, wherein the abovementioned heteroaromatic groups in the carbon skeleton may be substituted by a C_{1-3}-alkyl group or a hydrogen atom bound to a nitrogen atom may be replaced by a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group,

the group of formula



,

a carboxy, C_{1-4}-alkoxycarbonyl, phenyl-C_{1-3}-alkylamino-carbonyl or C_{5-7}-cycloalkyl-carbonyl group,

a 5 or 6-membered cycloalkyleneimino group, wherein

the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH or -N(C_{1-3}-alkyl) group,

an unbranched C_{1-3}-alkyl group terminally substituted by the group R_7, wherein

R_7 denotes a C_{5-7}-cycloalkyl group,

wherein in a 5 or 6-membered cycloalkyl group a $-(CH_2)_2$ group may be replaced by a -CO-NH group, a $-(CH_2)_3$ group may be replaced by an -NH-CO-NH- or a $-(CH_2)_4$ group may be replaced by an -NH-CO-NH-CO group, whilst in each case a hydrogen atom bound to a nitrogen atom may be replaced by a C_{1-3}-alkyl group,

a phenyl or pyridinyl group or a pyrrolyl, pyrazolyl, imidazolyl or triazolyl group bound via a carbon or nitrogen atom, wherein the abovementioned heteroaromatic groups in the carbon skeleton may be substituted by a C_{1-3}-alkyl group or a hydrogen atom bound to a nitrogen atom may be replaced by a C_{1-3}-alkyl group,

a hydroxy or C_{1-3}-alkoxy group,

an amino, C_{1-6}-alkylamino, di-(C_{1-6}-alkyl)-amino, phenylamino, N-phenyl-C_{1-3}-alkylamino, phenyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-phenyl-C_{1-3}-alkylamino group,

a ω-hydroxy-C_{2-3}-alkyl-amino, N-(C_{1-3}-alkyl)-ω-hydroxy-C_{2-3}-alkylamino, di-(ω-hydroxy-C_{2-3}-alkyl)-amino or di-(ω-(C_{1-3}-alkoxy)-C_{2-3}-alkyl)-amino group,

a C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-amino or C_{1-3}-alkylcarbonylamino-C_{2-3}-alkyl-N-(C_{1-3}-alkyl)-amino group,

a C_{1-3}-alkylsulphonylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkylsulphonylamino, C_{1-3}-alkylsulphonylamino--C_{2-3}-alkylamino or C_{1-3}-alkylsulphonylamino--C_{2-3}-alkyl-N-(C_{1-3}-alkyl)-amino group,

a hydroxycarbonyl-C_{1-3}-alkylamino or N-(C_{1-3}-alkyl)-hydroxycarbonyl-C_{1-3}-alkyl-amino group,

a guanidino group wherein a hydrogen atom may be replaced by a C_{1-3}-alkyl group,

a group of formula

$$-N(R_8)-CO-(CH_2)_n-R_9 \quad (II),$$

wherein

R_8 denotes a hydrogen atom or a C_{1-3}-alkyl group,

n denotes one of the numbers 0, 1, 2 or 3 and

R_9 denotes an amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino or C_{1-4}-alkoxy group, a 5- or 6-membered cycloalkyleneimino group, wherein the methylene group in position 4 of the piperidino group may be replaced by an -NH, -N(C_{1-3}-alkyl) or -N(C_{1-3}-alkyl-carbonyl) group, or, if n denotes one of the numbers 1, 2 or 3, R_9 may also denote a hydrogen atom,

a group of formula

$$-N(R_{10})-(CH_2)_m-(CO)_o-R_{11} \quad (III),$$

wherein

R_{10} denotes a hydrogen atom or a C_{1-3}-alkyl group,

m denotes one of the numbers 1, 2 or 3,

o denotes the number 1 or, if m is one of the numbers 2 or 3, o may also denote the number 0 and

R_{11} denotes an amino, C_{1-3}-alkylamino, di-(C_{1-3}-alkyl)-amino, C_{1-4}-alkoxy or methoxy-C_{1-3}-alkoxy group or a 5- or 6-membered cycloalkyleneimino group,

wherein the methylene group in position 4 of the piperidino group may be replaced by an -NH, -N(C_{1-3}-alkyl) or -N(C_{1-3}-alkyl-carbonyl) group,

an azetidino, pyrrolidino, piperidino, 2,6-dimethyl-piperidino, 3,5-dimethyl-piperidino or azepino group, wherein

the methylene group in position 3 of the pyrrolidino group may be substituted by a hydroxy group,

the methylene group in position 4 of the piperidino group may be substituted by a hydroxy, hydroxy-C_{1-3}-alkyl or C_{1-3}-alkoxy group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C_{1-3}-alkyl), -N(C_{1-3}-alkyl-carbonyl), -N(benzoyl) or -N(phenyl-C_{1-3}-alkyl-carbonyl) group,

wherein a methylene group linked to an imino-nitrogen atom of the pyrrolidino, piperidino or piperazino group may be replaced by a carbonyl group,

or R_6 denotes a straight-chain C_{1-3}-alkyl group which is terminally substituted by a carboxy or C_{1-3}-alkoxy-carbonyl group,

a group of formula

$$-N(R_{12})-CO-(CH_2)_p-R_{13} \quad (IV),$$

wherein

R_{12} denotes a hydrogen atom, a C_{1-3}-alkyl or phenyl-C_{1-3}-alkyl group,

p denotes one of the numbers 0, 1 or 2 and

R_{13} denotes an amino, C_{1-4}-alkylamino, di-(C_{1-4}-alkyl)-amino, benzylamino, N-(C_{1-3}-alkyl)-benzylamino, C_{1-3}-alkoxy-C_{1-3}-alkylamino, N-(C_{1-3}-alkyl)-C_{1-3}-alkoxy-C_{1-3}-alkylamino, di-(2-methoxy-ethyl)-amino, di-(ω-hydroxy-C_{2-3}-alkyl)-amino or aminocarbonyl-methyl-N-(methyl)-amino group,

a pyrrolyl, pyrazolyl or imidazolyl group bound via a nitrogen atom and optionally substituted by a C_{1-3}-alkyl group,

a pyrrolidino, piperidino, morpholino, thiomorpholino or a piperazino group optionally substituted in the 4 position by a C_{1-3}-alkyl, phenyl-C_{1-3}-alkyl, C_{1-3}-alkylcarbonyl or C_{1-4}-alkoxycarbonyl group or, if n denotes the number 1 or 2, it may also denote a hydrogen atom,

a group of formula

$$-N(R_{14})-(CH_2)_q-(CO)_r-R_{15} \quad (V),$$

wherein

R_{14} denotes a hydrogen atom, a C_{1-4}-alkyl, C_{1-3}-alkyl-carbonyl, phenylcarbonyl, phenyl-C_{1-3}-alkylcarbonyl, furyl-carbonyl, pyridinyl-carbonyl, furyl-C_{1-3}-alkylcarbonyl, pyridinyl-C_{1-3}-alkylcarbonyl, C_{1-4}-alkylsulphonyl, phenylsulphonyl or phenyl-C_{1-3}-alkylsulphonyl group,

q denotes one of the numbers 1, 2 or 3,

r denotes the number 1 or, if q is one of the numbers 2 or 3, it may also denote the number 0 and

R_{15} denotes an amino, C_{1-4}-alkylamino, di-(C_{1-4}-alkyl)-amino, phenylamino, N-(C_{1-4}-alkyl)-phenylamino, benzylamino or N-(C_{1-4}-alkyl)-benzylamino group,

or a group of formula

$$-N(R_{16})-SO_2-R_{17} \quad (VI),$$

wherein

R_{16} denotes a hydrogen atom or a C_{1-3}-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C_{1-3}-alkyl)-trifluoromethyl-carbonyl-amino group and

R_{17} denotes a C_{1-3}-alkyl group,

wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R_6 may be substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, methoxy, nitro or cyano group and

R_5 denotes a hydrogen atom,

wherein a hydrogen atom bound to a nitrogen atom in the abovementioned groups may be replaced by an acetyl or tert.butoxycarbonyl group,

the carboxy groups contained in the abovementioned groups may also be present in the form of the tert.butoxycarbonyl precursor group,

or a tautomer or salt thereof.

Claim 4 (Original): A compound of the formula I according to claim 1, wherein:

X denotes an oxygen atom,

R_1 and R_5 each denote a hydrogen atom,

R_2 denotes a methoxycarbonyl, ethoxycarbonyl or aminocarbonyl group,

R_3 denotes a phenyl group and

R_4 denotes a phenyl group monosubstituted by the group R_6, wherein

R_6 denotes an N-methyl-imidazol-2-yl group,

an unbranched C_{1-3}-alkyl group which is terminally substituted by a C_{1-4}-alkylamino, di-(C_{1-4}-alkyl)-amino, piperidino or 2,6-dimethyl-piperidino group,

a group of formula

$$-N(R_{12})-CO-(CH_2)_p-R_{13} \quad (IV),$$

wherein

R_{12} denotes a C_{1-3}-alkyl group,

p denotes one of the numbers 1 or 2 and

R_{13} denotes a di-(C_{1-3}-alkyl)-amino group,

or a group of formula

$$-N(R_{14})-(CH_2)_q-(CO)_r-R_{15} \quad (V),$$

wherein

R_{14} denotes a C_{1-3}-alkyl-carbonyl or C_{1-3}-alkylsulphonyl group,

q denotes one of the numbers 1, 2 or 3,

r denotes the number 1 or, if q is one of the numbers 2 or 3, r may also denote the number 0 and

R_{15} denotes a di-(C_{1-3}-alkyl)-amino group,

or a tautomer or salt thereof.

Claim 5 (Original): A compound selected from the group consisting of:

(a) 3-Z-[1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(b) 3-Z-[(1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene]-6-carbamoyl-2-indolinone,

(c) 3-Z-[1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(d) 3-Z-[1-(4-(dimethylaminomethyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(e) 3-Z-[1-(4-((2,6-dimethyl-piperidin-1-yl)-methyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(f) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(g) 3-Z-[1-(4-(N-(3-dimethylamino-propyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(h) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(i) 3-Z-[1-(4-(dimethylaminomethyl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(j) 3-Z-[1-(4-(N-acetyl-N-dimethylaminocarbonylmethyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(k) 3-Z-[1-(4-ethylaminomethyl-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(l) 3-Z-[1-(4-(1-methyl-imidazol-2-yl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(m) 3-Z-[1-(4-(N-dimethylaminomethylcarbonyl-N-methyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(n) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(o) 3-Z-[1-(4-(N-(3-dimethylamino-propyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(p) 3-Z-[1-(4-(N-dimethylaminocarbonylmethyl-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(q) 3-Z-[1-(4-(N-((2-dimethylamino-ethyl)-carbonyl)-N-methyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(r) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone and

(s) 3-Z-[1-(4-methylaminomethyl-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

or a tautomer or salt thereof.

Claim 6 (Original): A physiologically acceptable salt of a compound according to claim 1, 2, 3, 4 or 5.

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Claim 7 (Original): A pharmaceutical composition containing a compound according to claim 1, 2, 3 or 4, or a physiologically acceptable salt thereof in accordance with claim 5, together with a pharmaceutically acceptable carrier.

Claim 8 (withdrawn)